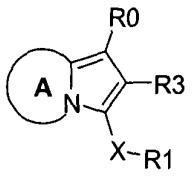
CLAIMS

What is claimed is:

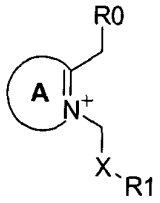
1. A method of preparing a compound represented by structural formula IIa:



IIa

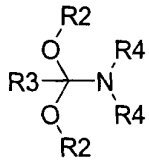
wherein ring A is an unsubstituted or substituted aryl group;

comprising reacting a compound represented by structural formula **IVa**:



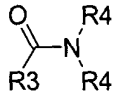
IVa

with either a compound represented by structural formula **IIIa**:



IIIa

or, a reagent prepared by reacting the compound represented by structural formula **IIIb** with an alkylating agent:



IIIb

wherein:

X is a covalent bond, or a linking group selected from a methanone, a sulfone, a sulfoxide, a substituted or unsubstituted amine, or a substituted or unsubstituted methylene;

R0 is –H, a substituted or unsubstituted aliphatic group, a substituted or unsubstituted aryl group, a substituted or unsubstituted non-aromatic

heterocyclic group, a halogen, –CN, $–COR^a$, $–CO_2R^a$, $–CONR^aR^b$, $–SO_2R^a$, or $–SO_2NR^aR^b$;

R1 is –H, a substituted or unsubstituted aliphatic group, a substituted or unsubstituted aryl group, a substituted or unsubstituted non-aromatic heterocyclic group, –CN, $–OR^a$, $–SR^a$, or $–NR^aR^b$;

each R2 is independently a substituted or unsubstituted aliphatic group, or a substituted or unsubstituted aryl group; or both R2 groups, taken together, are an inert linking group;

R3 is –H, a substituted or unsubstituted aliphatic group, a substituted or unsubstituted aryl group, or an electron-withdrawing or electron-donating group, provided that if R3 is –H, at least one of R2 is a secondary or tertiary alkyl group, or a substituted or unsubstituted aryl group;

each R4 is independently –H, a substituted or unsubstituted aliphatic group, a substituted or unsubstituted aryl group;

or both R4 groups, taken together with the nitrogen atom to which they are bonded, are a substituted or unsubstituted heterocyclic group;

wherein R^a and R^b are independently –H, alkyl, or aryl.

2. The method of Claim 1 wherein X is a covalent bond, or a linking group selected from a methanone, a sulfone, or a sulfoxide.

3. The method of Claim 1 wherein R0 and R3 are independently–H, or a substituted or unsubstituted aliphatic group.

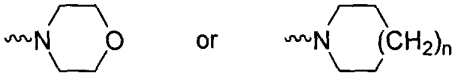
4. The method of Claim 3 wherein if R3 is –H, at least one of R2 is a secondary or tertiary alkyl group, or a substituted or unsubstituted aryl group.

5. The method of Claim 1 wherein X is methanone.

6. The method of Claim 4 wherein:

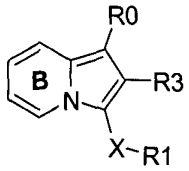
a. R2 is a substituted or unsubstituted cyclic aliphatic group, or $-CH(R^c)_2$, $-C(R^c)_3$, and each R^c is independently a C1-C4 alkyl group; and

b. each R4 is $-H$, $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$ $-C(CH_3)_3$, phenyl; or both R4 groups, taken together with the nitrogen atom to which they are bonded, are a cyclic group as shown below:



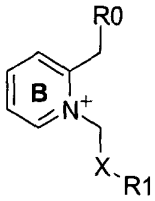
wherein n is 0, 1, or 2.

7. A method of preparing a compound represented by structural formula **IIb**:



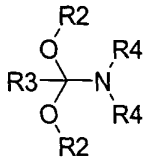
IIb

wherein ring **B** is unsubstituted or substituted or is fused to an aryl group; comprising reacting a compound represented by structural formula **IVb**:



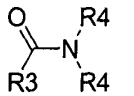
IVb

with either a compound represented by structural formula **IIIa**:



IIIa

or, a reagent prepared by reacting the compound represented by structural formula **IIIb** with an alkylating agent:



IIIb

wherein:

X is a covalent bond, or a linking group selected from a methanone, a sulfone, a sulfoxide, a substituted or unsubstituted amine, or a substituted or unsubstituted methylene;

R0 is –H, a substituted or unsubstituted aliphatic group, a substituted or unsubstituted aryl group, a substituted or unsubstituted non-aromatic heterocyclic group, a halogen, –CN, $-COR^a$, $-CO_2R^a$, $-CONR^aR^b$, $-SO_2R^a$, or $-SO_2NR^aR^b$;

R1 is –H, a substituted or unsubstituted aliphatic group, a substituted or unsubstituted aryl group, a substituted or unsubstituted non-aromatic heterocyclic group, –CN, $-OR^a$, $-SR^a$, or $-NR^aR^b$;

each R2 is independently a substituted or unsubstituted aliphatic group, or a substituted or unsubstituted aryl group; or both R2 groups, taken together, are an inert linking group;

R3 is –H, a substituted or unsubstituted aliphatic group, a substituted or unsubstituted aryl group, or an electron-withdrawing or electron-donating group, provided that if R3 is –H, at least one of R2 is a secondary or tertiary alkyl group, or a substituted or unsubstituted aryl group;

each R4 is independently –H, a substituted or unsubstituted aliphatic group, or a substituted or unsubstituted aryl group;

or both R4 groups, taken together with the nitrogen atom to which they are bonded, are a substituted or unsubstituted heterocyclic group;

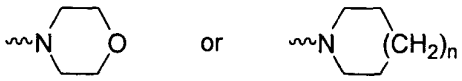
wherein R^a and R^b are independently –H, alkyl, or aryl.

8. The method of Claim 7 wherein X is methanone, sulfone, or sulfoxide.

9. The method of Claim 7 wherein:

a. R2 is a substituted or unsubstituted cyclic aliphatic group, or a substituted or unsubstituted pheyl group, or $-CH(R^c)_2$ or $-C(R^c)_3$, where each R^c is independently a C1-C4 alkyl group; and

b. each R4 is –H, $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$ $-C(CH_3)_3$, phenyl; or both R4 groups, taken together with the nitrogen atom to which they are bonded, are a cyclic group as shown below:

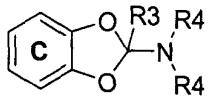


wherein n is 0, 1, or 2.

10. The method of Claim 9 wherein each R2 is independently $-CH(CH_3)_2$, $-C(CH_3)_3$, cyclobutyl, 2,2',4,4'-tetramethylcyclobutyl , cyclopentyl, 2,2',5,5'-tetramethlycyclopentyl, cyclohexyl, 2,2',6,6'-tetramethlycyclohexyl, phenyl, or 2,6-dimethylphenyl.

11. The method of Claim 7 wherein both R2 groups, taken together, are $-(CR5_2)_n-$ and n is 1, 2, or 3 and each R5 is independently –H or $-CH_3$.

12. The method of Claim 7 wherein both R2, taken together, are represented by ring **C**:



IIIc

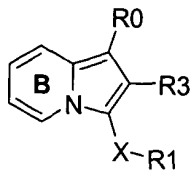
and wherein ring **C** is unsubstituted or substituted.

13. The method of Claim 12 wherein ring **C** is unsubstituted.

14. The method of Claim 7 wherein R2 is $-C(CH_3)_3$.

15. The method of Claim 7 wherein R4 is $-CH_3$.

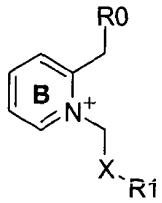
16. A method of preparing a compound represented by structural formula **IIb**:



IIb

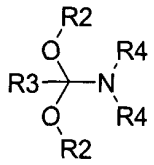
wherein ring **B** is unsubstituted or substituted or is fused to an aryl group;

comprising reacting a compound represented by structural formula **IVb**:



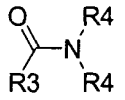
IVb

with either a compound represented by structural formula **IIIa**:



IIIa

or, a reagent prepared by reacting the compound represented by structural formula **IIIb** with dimethyl sulfate:



IIIb

wherein:

X is a methanone, a sulfone, or a sulfoxide;

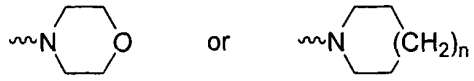
R0 is –H, a substituted or unsubstituted aliphatic group, a substituted or unsubstituted aryl group, a substituted or unsubstituted non-aromatic heterocyclic group, a halogen, –CN, $-COR^a$, $-CO_2R^a$, $-CONR^aR^b$, $-SO_2R^a$, or $-SO_2NR^aR^b$;

R1 is –H, a substituted or unsubstituted aliphatic group, a substituted or unsubstituted aryl group, a substituted or unsubstituted non-aromatic heterocyclic group, –CN, $-OR^a$, $-SR^a$, or $-NR^aR^b$;

each R2 is independently $-CH(R^c)_2$ or $-C(R^c)_3$;

R3 is –H, or a substituted or unsubstituted aliphatic group; and

each R4 is –H, –CH_3, –CH_2CH_3, –$CH_2CH_2CH_3$, –$CH(CH_3)_2$ –$C(CH_3)_3$, phenyl, or both R4 groups, taken together with the nitrogen atom to which they are bonded, are a cyclic group as shown below:



wherein n is 0, 1, or 2;

R^a and R^b are independently –H, alkyl, or aryl; and

each R^c is independently a C1-C4 alkyl group.

17. The method of Claim 16 wherein each R2 is –$C(CH_3)_3$.

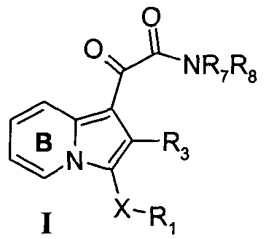
18. The method of Claim 16 wherein each R4 is –CH_3.

19. The method of Claim 18 wherein R0 and R3 are both –H.

20. The method of Claim 18 wherein ring **B** is optionally substituted with one or more groups selected from –F, –Cl, –Br, C1-C4 alkyl, C1-C4 alkoxy, -C1-C4 haloalkyl, C1-C4 haloalkoxy, –NH_2, –NO_2, or –CN.

21. The method of Claim 18 wherein ring **B** is unsubstituted and R1 is a phenyl, pyridyl, furanyl, thienyl, pyrazolyl, or pyrrolyl group substituted with zero, one or more substituents selected from: –Br, –Cl, –F, –R^a, –OR^a, –CN, –$COOR^a$, -$N(R^a)_2$, –$CON(R^a)_2$, –NR^aCOR^b, –$NHCONH_2$, or –$SO_2N(R^a)_2$.

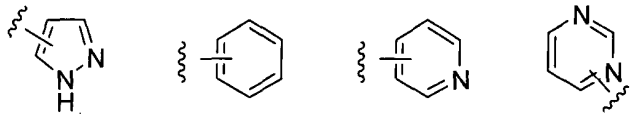
22. The method of Claim 19 wherein the compound represented by structural formula **IIb** is further reacted with oxalyl chloride or a synthetic equivalent thereof to form a first intermediate; and reacting the first intermediate with NHR7R8 to form a compound represented by structural formula **I**;



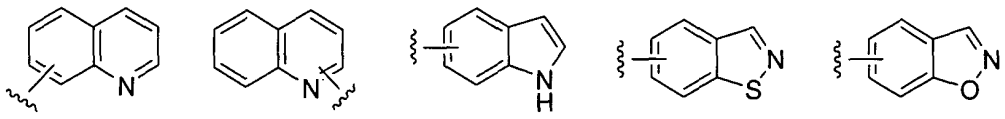
I

wherein R7 and R8 are independently –H, a substituted or unsubstituted aliphatic group, a substituted or unsubstituted non-aromatic heterocyclic group, or a substituted or unsubstituted aryl group, provided that R7 or R8 are not both –H, or NHR7R8, taken together, is a substituted or unsubstituted non-aromatic heterocyclic group, or a substituted or unsubstituted aryl group.

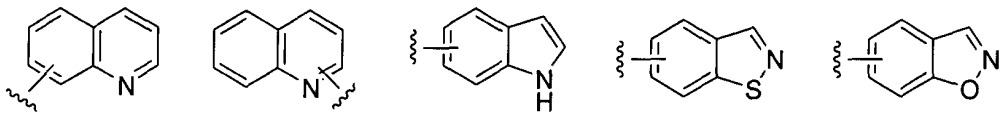
23. The method of Claim 22 wherein R7 is H and R8 is represented by a structural formula selected from:



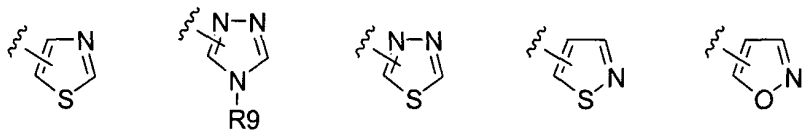
i ii iii iv



v vi vii viii ix



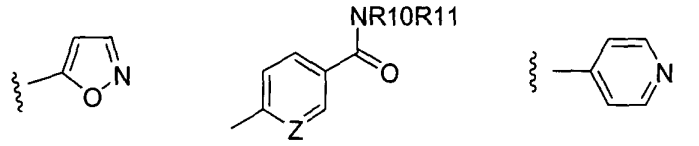
x xi xii xiii xiv



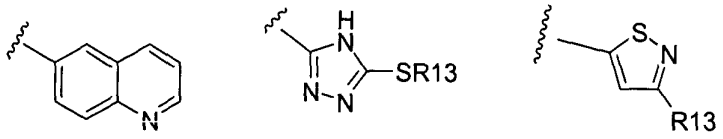
xv xvi xvii xviii xix ;

wherein R9 is –H or a substituted or unsubstituted alkyl group.

24. The method of Claim 23 wherein R8 is represented by a structural formula selected from:



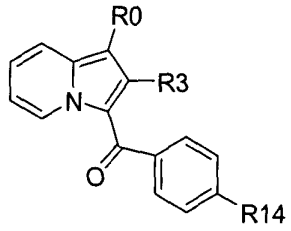
xx **xxi** **xxii**



xxiii **xxiv** **xxv**

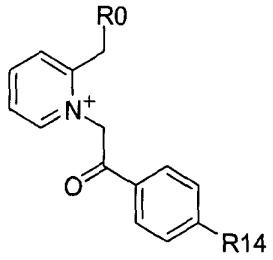
wherein Z is –CH– or –N–; R10 and R11 are independently –H or an alkyl group, or -NR10N11 taken together is a non-aromatic heterocyclic group; and R13 is -H or an alkyl group.

25. A method of preparing a compound represented by structural formula **VII**:



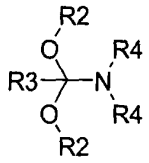
VII

comprising reacting a compound represented by structural formula **VIII**:



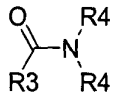
VIII

with either a compound represented by structural formula **IIIa**:



IIIa

or, a reagent prepared by reacting the compound represented by structural formula **IIIb** with an alkylating agent:



IIIb

wherein

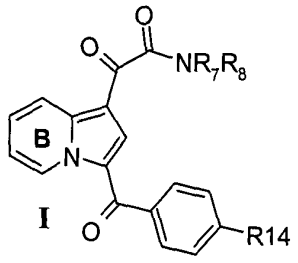
R2 is $-C(CH_3)_3$;

R0 and R3 are–H;

R4 is $-CH_3$; and

R14 is $-CH_3$, CH_2CH_3, $-OCH_3$, -CN, -F or -Cl.

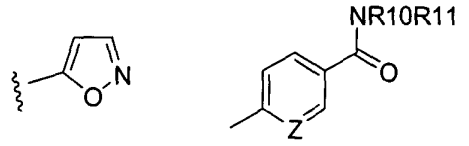
26. The method of Claim 25 wherein the compound represented by structural formula **VII** is further reacted with oxalyl chloride or a synthetic equivalent thereof to form a first intermediate; and reacting the first intermediate with NHR7R8 to form a compound represented by the following structural formula;



I

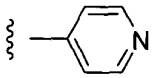
wherein R7 and R8 are independently –H, a substituted or unsubstituted aliphatic group, a substituted or unsubstituted non-aromatic heterocyclic group, or a substituted or unsubstituted aryl group, provided that R7 or R8 are not both –H, or NHR7R8, taken together, is a substituted or unsubstituted non-aromatic heterocyclic group, or a substituted or unsubstituted aryl group.

27. The method of Claim 26 wherein R8 is represented by a structural formula selected from:

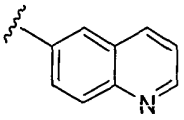


xx

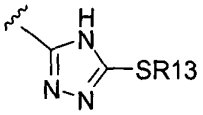
xxi



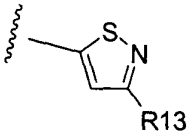
xxii



xxiii



xxiv



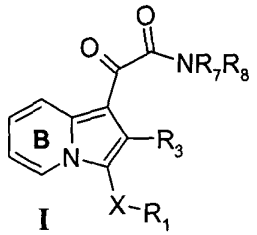
xxv

wherein Z is –CH– or –N–; R10 and R11 are independently –H or an alkyl group, or -NR10N11 taken together is a non-aromatic heterocyclic group; R12 is an alkyl group; and R13 is -H or an alkyl group.

28. The method of Claim 27 wherein R8 is represented by structural formula **xxv** and R13 is methyl.

29. The method of Claim 28 wherein R14 is –CN.

30. The method of Claim 7 wherein R0 and R3 are H, further comprising the steps of reacting the compound represented by structural formula **IIb** with oxalyl chloride or a synthetic equivalent thereof to form a first intermediate; and reacting the first intermediate with NHR7R8 to form a compound represented by structural formula **I**;



I

wherein R7 and R8 are independently –H, a substituted or unsubstituted aliphatic group, a substituted or unsubstituted non-aromatic heterocyclic group, or a substituted or unsubstituted aryl group, provided that R7 or R8 are not both –H, or NHR7R8, taken together, is a substituted or unsubstituted non-aromatic heterocyclic group, or a substituted or unsubstituted aryl group.